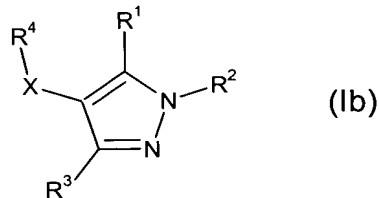


B 1
--76. (Amended)

A compound of the formula Ib



or a pharmaceutically acceptable salt or solvate thereof, wherein either (i) R¹ is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl, halo, -CN, -OR⁷, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -NR⁵CO-(C₁-C₆ alkylene)-OR⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶, said C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR⁵, -OR⁸, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁸R⁹, -NR⁵COR⁵, -NR⁵COR⁶, -NR⁵COR⁸, -SO₂NR⁵R⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶ and

R² is -Y-Z,

or, R¹ and R², when taken together, represent unbranched C₃-C₄ alkylene, optionally wherein one methylene group of said C₃-C₄ alkylene is replaced by an oxygen atom or a nitrogen atom, said nitrogen atom being optionally substituted by R⁵ or R⁸,

and R³ is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl, -CN, halo, -OR⁷, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶, said C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR⁵, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -SO₂NR⁵R⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶,

or (ii) R¹ and R³ are each independently C₁-C₆ alkyl, C₃-C₇ cycloalkyl or halo-(C₁-C₆ alkyl), and R² is H,

provided that

- (a) for definition (i), R¹ and R³ are not both H,
- (b) for definition (i), R¹ and R³ are not both optionally substituted phenyl, as defined therein,

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(c) for definition (i), when R¹ and R³ are both methyl, R² is not phenyl or methyl,
and

(d) for definition (ii), R¹ and R³ are not both methyl;

Y is a direct bond or C₁-C₃ alkylene;

Z is R¹⁰ or, where Y is C₁-C₃ alkylene, Z is -NR⁵COR¹⁰, -NR⁵CONR⁵R¹⁰,
-NR⁵CONR⁵COR¹⁰ or -NR⁵SO₂R¹⁰;

R⁴ is phenyl or pyridyl, each substituted by at least one substituent selected from halo,
-CN, C₁-C₆ alkyl, fluoro-(C₁-C₆)-alkyl, C₃-C₇ cycloalkyl and C₁-C₆ alkoxy;

each R⁵ is independently either H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, fluoro-(C₁-C₆)-alkyl,
phenyl or benzyl, or, when two such groups are attached to the same nitrogen atom, those two
groups taken together with the nitrogen atom to which they are attached represent piperidinyl
said piperidinyl being optionally substituted by C₁-C₆ alkyl or C₃-C₇ cycloalkyl;

R⁶ is a four to six-membered, aromatic, partially unsaturated or saturated heterocyclic
group containing (i) from 1 to 4 nitrogen heteroatom(s) or (ii) 1 or 2 nitrogen heteroatom(s)
and 1 oxygen or 1 sulphur heteroatom or (iii) 1 or 2 oxygen or sulphur heteroatom(s), said
heterocyclic group being optionally substituted by -OR⁵, -NR⁵R⁵, -CN, oxo, C₁-C₆ alkyl,
C₃-C₇ cycloalkyl, -COR⁷ or halo;

R⁷ is C₁-C₆ alkyl, C₃-C₇ cycloalkyl, fluoro-(C₁-C₆)-alkyl, phenyl or benzyl;

R⁸ is C₁-C₆ alkyl substituted by phenyl, pyridyl or pyrimidinyl, said phenyl, pyridyl
and pyrimidinyl being optionally substituted by halo, -CN, -CONR⁵R⁵, -SO₂NR⁵R⁵,
-NR⁵SO₂R⁷, -NR⁵R⁵, -(C₁-C₆ alkylene)-NR⁵R⁵, C₁-C₆ alkyl, fluoro-(C₁-C₆)-alkyl, C₃-C₇
cycloalkyl or C₁-C₆ alkoxy;

R⁹ is H, C₁-C₆ alkyl or C₃-C₇ cycloalkyl, said C₁-C₆ alkyl and C₃-C₇ cycloalkyl being
optionally substituted by -OR⁵, -NR⁵R⁵, -NR⁵COR⁵, -CONR⁵R⁵ or R⁶;

R¹⁰ is (a) benzyl or C-linked R⁶, said benzyl being optionally substituted by halo,
-OR⁵, -OR¹², -CN, -CO₂R⁷, -CONR⁵R⁵, -OCONR⁵R⁵, -C(=NR⁵)NR⁵OR⁵, -CONR⁵NR⁵R⁵,
-OCONR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵R¹², -NR⁵COR⁵, -NR⁵CO₂R⁷, -NR⁵CONR⁵R⁵,
-NR⁵COCONR⁵R⁵, -NR⁵SO₂R⁷, -SO₂NR⁵R⁵ or R⁶, or (b) when R¹ and R³ are each

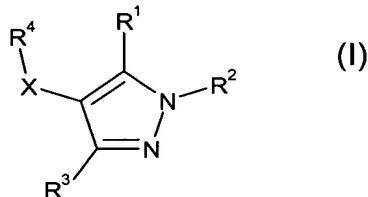
independently C₁-C₆ alkyl, C₃-C₇ cycloalkyl or halo-(C₁-C₆ alkyl), R¹⁰ is phenyl, C₁-C₆ alkyl or C₃-C₇ cycloalkyl each being optionally substituted by halo, -OR⁵, -OR¹², -CN, -CO₂R⁷, -CONR⁵R⁵, -OCONR⁵R⁵, -C(=NR⁵)NR⁵OR⁵, -CONR⁵NR⁵R⁵, -OCONR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵R¹², -NR⁵COR⁵, -NR⁵CO₂R⁷, -NR⁵CONR⁵R⁵, -NR⁵COCONR⁵R⁵, -NR⁵SO₂R⁷, -SO₂NR⁵R⁵ or R⁶;

X is -CH₂-, -CHR¹¹-, -CO-, -S-, -SO- or -SO₂-;

R¹¹ is C₁-C₆ alkyl, C₃-C₇ cycloalkyl, fluoro-(C₁-C₆)-alkyl or C₁-C₆ alkoxy; and

R¹² is C₁-C₆ alkyl substituted by R⁶, -OR⁵, -CONR⁵R⁵, -NR⁵COR⁵ or -NR⁵R⁵.--

B 2 --119. (Amended) A method for the treatment of a human immunodeficiency viral (HIV), a genetically related retroviral infection or a resulting acquired immunodeficiency syndrome (AIDS) comprising the administration of an effective amount of a compound of the formula (I)



or a pharmaceutically acceptable salt or solvate thereof, wherein either (i) R¹ is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl, halo, -CN, -OR⁷, -OR⁸, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -NR⁵CO-(C₁-C₆ alkylene)-OR⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶, said C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR⁵, -OR⁸, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁸R⁹, -NR⁵COR⁵, -NR⁵COR⁶, -NR⁵COR⁸, -SO₂NR⁵R⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶, and

R² is H or -Y-Z,

or, (ii) R¹ and R², when taken together, represent unbranched C₃-C₄ alkylene, optionally wherein one methylene group of said C₃-C₄ alkylene is replaced by an oxygen atom or a nitrogen atom, said nitrogen atom being optionally substituted by R⁵ or R⁸;

Y is a direct bond or C₁-C₃ alkylene;

Z is R¹⁰ or, where Y is C₁-C₃ alkylene, Z is -NR⁵COR¹⁰, -NR⁵CONR⁵R¹⁰, -NR⁵CONR⁵COR¹⁰ or -NR⁵SO₂R¹⁰;

R³ is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl, -CN, halo, -OR⁷, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶, said C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR⁵, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -SO₂NR⁵R⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶;

R⁴ is phenyl or pyridyl, each being optionally substituted by R⁶, halo, -CN, C₁-C₆ alkyl, fluoro-(C₁-C₆)-alkyl, C₃-C₇ cycloalkyl or C₁-C₆ alkoxy;

each R⁵ is independently either H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, fluoro-(C₁-C₆)-alkyl, phenyl or benzyl, or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached represent piperidinyl, said piperidinyl being optionally substituted by C₁-C₆ alkyl or C₃-C₇ cycloalkyl;

R⁶ is a four to six-membered, aromatic, partially unsaturated or saturated heterocyclic group containing (i) from 1 to 4 nitrogen heteroatom(s) or (ii) 1 or 2 nitrogen heteroatom(s) and 1 oxygen or 1 sulphur heteroatom or (iii) 1 or 2 oxygen or sulphur heteroatom(s), said heterocyclic group being optionally substituted by -OR⁵, -NR⁵R⁵, -CN, oxo, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, -COR⁷ or halo;

R⁷ is C₁-C₆ alkyl, C₃-C₇ cycloalkyl, fluoro-(C₁-C₆)-alkyl, phenyl or benzyl;

R⁸ is C₁-C₆ alkyl substituted by phenyl, phenoxy, pyridyl or pyrimidinyl, said phenyl, phenoxy, pyridyl and pyrimidinyl being optionally substituted by halo, -CN, -CONR⁵R⁵, -SO₂NR⁵R⁵, -NR⁵SO₂R⁷, -NR⁵R⁵, -(C₁-C₆ alkylene)-NR⁵R⁵, C₁-C₆ alkyl, fluoro-(C₁-C₆)-alkyl, C₃-C₇ cycloalkyl or C₁-C₆ alkoxy;

R⁹ is H, C₁-C₆ alkyl or C₃-C₇ cycloalkyl, said C₁-C₆ alkyl and C₃-C₇ cycloalkyl being optionally substituted by -OR⁵, -NR⁵R⁵, -NR⁵COR⁵, -CONR⁵R⁵ or R⁶;

R¹⁰ is C₁-C₆ alkyl, C₃-C₆ alkanyl, C₃-C₆ alkynyl, C₃-C₇ cycloalkyl, phenyl, benzyl or C-linked R⁶, said C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl and benzyl being optionally

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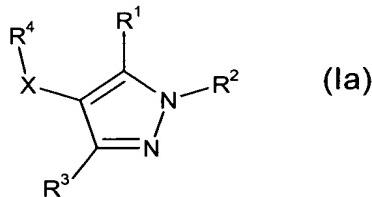
12 substituted by halo, -OR⁵, -OR¹², -CN, -CO₂R⁷, -CONR⁵R⁵, -OCONR⁵R⁵, -C(=NR⁵)NR⁵OR⁵, -CONR⁵NR⁵R⁵, -OCONR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵R¹², -NR⁵COR⁵, -NR⁵CO₂R⁷, -NR⁵CONR⁵R⁵, -NR⁵COCONR⁵R⁵, -NR⁵SO₂R⁷, -SO₂NR⁵R⁵ or R⁶;

X is -CH₂-; -CHR¹¹-; -CO-; -S-; -SO- or -SO₂-;

R¹¹ is C₁-C₆ alkyl, C₃-C₇ cycloalkyl, fluoro-(C₁-C₆)-alkyl or C₁-C₆ alkoxy; and

R¹² is C₁-C₆ alkyl substituted by R⁶, -OR⁵, -CONR⁵R⁵, -NR⁵COR⁵ or -NR⁵R⁵.--

13 --149. (Amended) A method for the treatment of a human immunodeficiency viral (HIV), or genetically related retroviral, infection or a resulting acquired immunodeficiency syndrome (AIDS) comprising the administration of an effective amount of a compound of formula (Ia)



or a pharmaceutically acceptable salt or solvate thereof, wherein:

R¹ is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl, halo, -OR⁵, -CO₂R⁵, -CONR⁵R⁶, -OCONR⁵R⁶, -NR⁵CO₂R⁶, -NR⁵R⁶, -NR⁵COR⁶, -SO₂NR⁵R⁶, -NR⁵CONR⁶R⁷, -NR⁵SO₂R⁶ or R⁸, said C₁-C₆ alkyl, phenyl and benzyl being optionally substituted by halo, -OR⁵, -CO₂R⁵, -CONR⁵R⁶, -OCONR⁵R⁶, -NR⁵CO₂R⁶, -NR⁵R⁶, -NR⁵COR⁶, -SO₂NR⁵R⁶, -NR⁵CONR⁶R⁷, -NR⁵SO₂R⁶ or R⁸;

R² is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl or C-linked R¹², said C₁-C₆ alkyl, phenyl and benzyl being optionally substituted by -OR⁹, -CO₂R⁹, -CO₂NR⁹R¹⁰, -NR⁹R¹⁰, -NR⁹COR¹⁰, -NR⁹CO₂R¹⁰, -NR⁹CONR¹⁰R¹¹, -SO₂NR⁹R¹⁰, -NR⁹SO₂R¹⁰ or R¹²;

R³ is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl, halo, -OR¹³, -CO₂R¹³, -CONR¹³R¹⁴, -OCONR¹³R¹⁴, -NR¹³CO₂R¹⁴, -NR¹³R¹⁴, -NR¹³COR¹⁴, -SO₂NR¹³R¹⁴, -NR¹³CONR¹⁴R¹⁵, -NR¹³SO₂R¹⁴ or R¹⁶, said C₁-C₆ alkyl, phenyl and benzyl being optionally

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substituted by halo, -OR¹³, -CO₂R¹³, -CONR¹³R¹⁴, -OCONR¹³R¹⁴, -NR¹³CO₂R¹⁴, -NR¹³R¹⁴, -NR¹³COR¹⁴, -SO₂NR¹³R¹⁴, -NR¹³CONR¹⁴R¹⁵, -NR¹³SO₂R¹⁴ or R¹⁶;

B 3 R⁴ is phenyl or pyridyl, each being optionally substituted by halo, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₃-C₇ cycloalkyl or C₁-C₆ alkoxy;

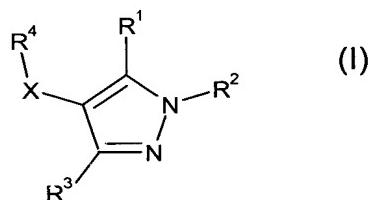
R⁵, R⁶, R⁷, R⁹, R¹⁰, R¹¹, R¹³, R¹⁴ and R¹⁵ are either each H, C₁-C₆ alkyl or C₃-C₆ cycloalkyl or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached may represent piperidinyl, said piperidinyl being optionally substituted by C₁-C₆ alkyl or C₃-C₇ cycloalkyl;

R⁸, R¹² and R¹⁶ are each a five- or six-membered heterocyclic group containing 1 to 4 heteroatoms selected from O, N and S and optionally substituted by oxo, C₁-C₆ alkyl, C₃-C₇ cycloalkyl or halo; and

X is -CH₂-, -S-, -SO- or -SO₂--

B 4

--150. (Amended) A method for the treatment of a disorder treatable by the inhibition of reverse transcriptase, comprising the administration of an effective amount of a compound of the formula (I),



or a pharmaceutically acceptable salt or solvate thereof, wherein

either (i) R¹ is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl, halo, -CN, -OR⁷, -OR⁸, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -NR⁵CO-(C₁-C₆ alkylene)-CR⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶, said C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR⁵, -OR⁸, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁸R⁹, -NR⁵COR⁵, -NR⁵COR⁶, -NR⁵COR², -SO₂NR⁵R⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶, and

R² is H or -Y-Z,

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or, (ii) R¹ and R², when taken together, represent unbranched C₃-C₄ alkylene, optionally wherein one methylene group of said C₃-C₄ alkylene is replaced by an oxygen atom or a nitrogen atom, said nitrogen atom being optionally substituted by R⁵ or R⁸;

cont

Y is a direct bond or C₁-C₃ alkylene;

¶ 4 Z is R¹⁰ or, where Y is C₁-C₃ alkylene, Z is -NR⁵COR¹⁰, -NR⁵CONR⁵R¹⁰, -NR⁵CONR⁵COR¹⁰ or -NR⁵SO₂R¹⁰;

R³ is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl, -CN, halo, -OR⁷, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶, said C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl and benzyl being optionally substituted by halo, -CN, -OR⁵, -CO₂R⁵, -CONR⁵R⁵, -OCONR⁵R⁵, -NR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵COR⁵, -SO₂NR⁵R⁵, -NR⁵CONR⁵R⁵, -NR⁵SO₂R⁷ or R⁶;

R⁴ is phenyl or pyridyl, each being optionally substituted by R⁶, halo, -CN, C₁-C₆ alkyl, fluoro-(C₁-C₆)-alkyl, C₃-C₇ cycloalkyl or C₁-C₆ alkoxy;

each R⁵ is independently either H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, fluoro-(C₁-C₆)-alkyl, phenyl or benzyl, or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached represent piperidinyl, said piperidinyl being optionally substituted by C₁-C₆ alkyl or C₃-C₇ cycloalkyl;

R⁶ is a four to six-membered, aromatic, partially unsaturated or saturated heterocyclic group containing (i) from 1 to 4 nitrogen heteroatom(s) or (ii) 1 or 2 nitrogen heteroatom(s) and 1 oxygen or 1 sulphur heteroatom or (iii) 1 or 2 oxygen or sulphur heteroatom(s), said heterocyclic group being optionally substituted by -OR⁵, -NR⁵R⁵, -CN, oxo, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, -COR⁷ or halo;

R⁷ is C₁-C₆ alkyl, C₃-C₇ cycloalkyl, fluoro-(C₁-C₆)-alkyl, phenyl or benzyl;

R⁸ is C₁-C₆ alkyl substituted by phenyl, phenoxy, pyridyl or pyrimidinyl, said phenyl, phenoxy, pyridyl and pyrimidinyl being optionally substituted by halo, -CN, -CONR⁵R⁵, -SO₂NR⁵R⁵, -NR⁵SO₂R⁷, -NR⁵R⁵, -(C₁-C₆ alkylene)-NR⁵R⁵, C₁-C₆ alkyl, fluoro-(C₁-C₆)-alkyl, C₃-C₇ cycloalkyl or C₁-C₆ alkoxy;

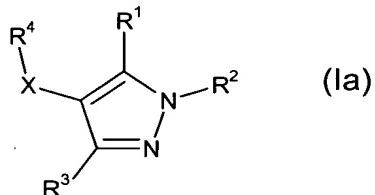
R⁹ is H, C₁-C₆ alkyl or C₃-C₇ cycloalkyl, said C₁-C₆ alkyl and C₃-C₇ cycloalkyl being optionally substituted by -OR⁵, -NR⁵R⁵, -NR⁵COR⁵, -CONR⁵R⁵ or R⁶;

R¹⁰ is C₁-C₆ alkyl, C₃-C₆ alkaryl, C₃-C₆ alkynyl, C₃-C₇ cycloalkyl, phenyl, benzyl or C-linked R⁶, said C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl and benzyl being optionally substituted by halo, -OR⁵, -OR¹², -CN, -CO₂R⁷, -CONR⁵R⁵, -OCONR⁵R⁵, -C(=NR⁵)NR⁵OR⁵, -CONR⁵NR⁵R⁵, -OCONR⁵CO₂R⁷, -NR⁵R⁵, -NR⁵R¹², -NR⁵COR⁵, -NR⁵CO₂R⁷, -NR⁵CONR⁵R⁵, NR⁵COCONR⁵R⁵, -NR⁵SO₂R⁷, -SO₂NR⁵R⁵ or R⁶;

X is -CH₂-, -CHR¹¹-, -CO-, -S-, -SO- or -SO₂-;

R¹¹ is C₁-C₆ alkyl, C₃-C₇ cycloalkyl, fluoro-(C₁-C₆)-alkyl or C₁-C₆ alkoxy; and

R¹² is C₁-C₆ alkyl substituted by R⁶, -OR⁵, -CONR⁵R⁵, -NR⁵COR⁵ or -NR⁵R⁵ or a compound of the formula (Ia)



or a pharmaceutically acceptable salt or solvate thereof, wherein:

R¹ is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl, halo, -OR⁵, -CO₂R⁵, -CONR⁵R⁶, -OCONR⁵R⁶, -NR⁵CO₂R⁶, -NR⁵R⁶, -NR⁵COR⁶, -SO₂NR⁵R⁶, -NR⁵CONR⁶R⁷, -NR⁵SO₂R⁶ or R⁸, said C₁-C₆ alkyl, phenyl and benzyl being optionally substituted by halo, -OR⁵, -CO₂R⁵, -CONR⁵R⁶, -OCONR⁵R⁶, -NR⁵CO₂R⁶, -NR⁵R⁶, -NR⁵COR⁶, -SO₂NR⁵R⁶, -NR⁵CONR⁶R⁷, -NR⁵SO₂R⁶ or R⁸;

R² is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl or C-linked R¹², said C₁-C₆ alkyl, phenyl and benzyl being optionally substituted by -OR⁹, -CO₂R⁹, -CO₂NR⁹R¹⁰, -NR⁹R¹⁰, -NR⁹COR¹⁰, -NR⁹CO₂R¹⁰, -NR⁹CONR¹⁰R¹¹, -SO₂NR⁹R¹⁰, -NR⁹SO₂R¹⁰ or R¹²; *R³* is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, phenyl, benzyl, halo, -OR¹³, -CO₂R¹³, -CONR¹³R¹⁴, -OCONR¹³R¹⁴, -NR¹³CO₂R¹⁴, -NR¹³R¹⁴, -NR¹³COR¹⁴, -SO₂NR¹³R¹⁴, -NR¹³CONR¹⁴R¹⁵, -NR¹³SO₂R¹⁴ or R¹⁶, said C₁-C₆ alkyl, phenyl and benzyl being optionally substituted by halo,

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-OR¹³, -CO₂R¹³, -CONR¹³R¹⁴, -OCONR¹³R¹⁴, -NR¹³CO₂R¹⁴, -NR¹³R¹⁴, -NR¹³COR¹⁴,
-SO₂NR¹³R¹⁴, -NR¹³CONR¹⁴R¹⁵, -NR¹³SO₂R¹⁴ or R¹⁶;

cont R⁴ is phenyl or pyridyl, each being optionally substituted by halo, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₃-C₇ cycloalkyl or C₁-C₆ alkoxy;

P 4 R⁵, R⁶, R⁷, R⁹, R¹⁰, R¹¹, R¹³, R¹⁴ and R¹⁵ are either each H, C₁-C₆ alkyl or C₃-C₆ cycloalkyl or, when two such groups are attached to the same nitrogen atom, those two groups taken together with the nitrogen atom to which they are attached may represent piperidinyl, said being optionally substituted by C₁-C₆ alkyl or C₃-C₇ cycloalkyl;

R⁸, R¹² and R¹⁶ are each a five- or six-membered heterocyclic group containing 1 to 4 heteroatoms selected from O, N and S and optionally substituted by oxo, C₁-C₆ alkyl, C₃-C₇ cycloalkyl or halo; and

X is -CH₂-, -S-, -SO- or -SO₂- to a patient in need of such treatment --

The above amendments add no new matter to this application. Applicants respectfully request their entry.